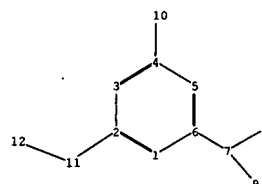
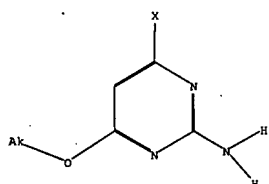


## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	825	(544/320).CCLS.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:41
L2	460	(544/334).CCLS.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:41
L3	49	Sylvia.inv. and Huber.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:40
L4	0	Thomas.inv. and Gutner.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:41
L5	11	Thomas.inv. and Guthner.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:41
L6	136	Wolfgang.inv. and Moser.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:42
L7	9	Doris.inv. and Krammer.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:42

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	825	(544/320).CCLS.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:41
L2	460	(544/334).CCLS.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:41
L3	49	Sylvia.inv. and Huber.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:40
L4	0	Thomas.inv. and Gutner.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:41
L5	11	Thomas.inv. and Guthner.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:41
L6	136	Wolfgang.inv. and Moser.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:42
L7	9	Doris.inv. and Krammer.inv.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/06/19 15:42



chain nodes :

7 8 9 10 11 12

ring nodes :

1 2 3 4 5 6

chain bonds :

2-11 4-10 6-7 7-8 7-9 11-12

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

2-11 6-7 11-12

exact bonds :

4-10 7-8 7-9

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Connectivity :

12:1 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS12:CLASS

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NEWS 6 MAR 30 RDISCLOSURE reloaded with enhancements  
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NEWS 9 APR 30 CHEMCATS enhanced with 1.2 million new records  
NEWS 10 APR 30 CA/CAPplus enhanced with 1870-1889 U.S. patent records  
NEWS 11 APR 30 INPADOC replaced by INPADOCDB on STN  
NEWS 12 MAY 01 New CAS web site launched  
NEWS 13 MAY 08 CA/CAPplus Indian patent publication number format defined  
NEWS 14 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields  
NEWS 15 MAY 21 BIOSIS reloaded and enhanced with archival data  
NEWS 16 MAY 21 TOXCENTER enhanced with BIOSIS reload  
NEWS 17 MAY 21 CA/CAPplus enhanced with additional kind codes for German patents  
NEWS 18 MAY 22 CA/CAPplus enhanced with IPC reclassification in Japanese patents  
NEWS 19 JUN 18 CA/CAPplus to be enhanced with pre-1967 CAS Registry Numbers  
  
NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.  
  
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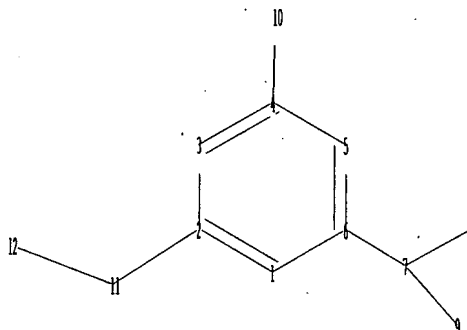
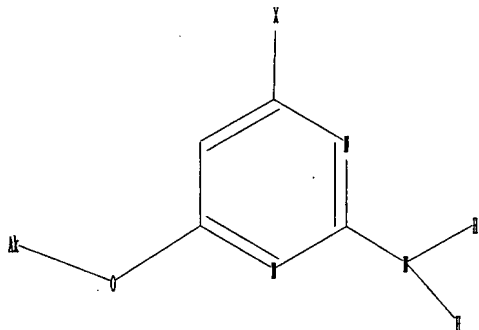
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chain nodes :  
7 8 9 10 11 12  
ring nodes :  
1 2 3 4 5 6  
chain bonds :  
2-11 4-10 6-7 7-8 7-9 11-12  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6  
exact/norm bonds :  
2-11 6-7 11-12  
exact bonds :  
4-10 7-8 7-9  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6  
isolated ring systems :  
containing 1 :

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Connectivity :

12:1 E exact RC ring/chain

Match level :

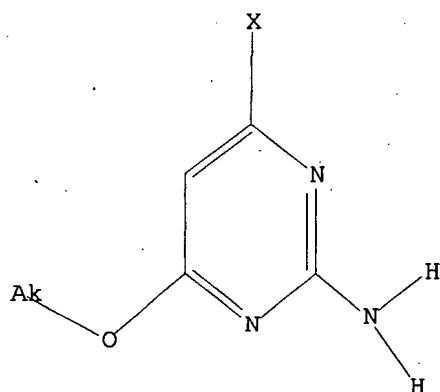
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 15:08:23 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 141 TO ITERATE

100.0% PROCESSED 141 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2108 TO 3532

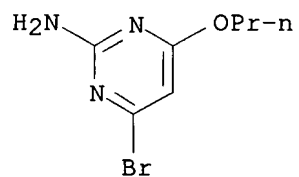
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> d scan

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L2 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN  
IN 2-Pyrimidinamine, 4-bromo-6-propoxy- (9CI)  
MF C7 H10 Br N3 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

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=> s l1 sss ful

FULL SEARCH INITIATED 15:08:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2663 TO ITERATE

100.0% PROCESSED 2663 ITERATIONS  
SEARCH TIME: 00.00.01

36 ANSWERS

L3 36 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

172.10

172.31

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126 L3

4419395 PREP/RL

L5 34 L3/PREP

(L3 (L) PREP/RL)

=> d 15 1-34 bib ABS



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L5 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1263576 CAPLUS

DN 144:128931

TI Synthesis of N-aryl-2-amino-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carboxamides

AU Tumkevicius, S.; Dailide, M.

CS Department of Organic Chemistry, Faculty of Chemistry, Vilnius University, Vilnius, LT-03225, Lithuania

SO Journal of Heterocyclic Chemistry (2005), 42(7), 1305-1310

CODEN: JHTCAD; ISSN: 0022-152X

PB HeteroCorporation

DT Journal

LA English

OS CASREACT 144:128931

AB Synthetic routes for the preparation of Me 2-amino-4-(methoxy)thieno[2,3-d]pyrimidine-6-carboxylate (I) (a useful intermediate for lipophilic and classical antifolates) from 2-amino-4,6-dichloro-5-pyrimidinecarboxaldehyde have been studied. It has been shown that more efficient synthesis of I includes the preparation of a 4-methoxy derivative and subsequent tandem substitution/annulation reaction with Me mercaptoacetate in DMF in the presence of potassium carbonate and mol. sieves 4A. I was used for the synthesis of N-aryl-2-amino-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carboxamide derivs., including an analog of folic acid with amide bridge [i.e., N-(4-[(2-amino-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidin-6-yl)carbonyl]amino)-benzoyl)-L-glutamic acid].

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2005:554169 CAPLUS  
DN 144:331376  
TI Synthesis of 2-(pyrimidin-2-yl)amino-4H-pyrido[3,2-e][1,3]thiazin-4-one  
derivatives in one pot reaction method  
AU Sun, Xiao-Hong; Ji, Peng-Ju; Liu, Yuan-Fa; Chen, Bang; Jia, Ying-Qi  
CS Institute of Chemistry, Northwest University, Xi'an, 710069, Peop. Rep.  
China  
SO Youji Huaxue (2005), 25(6), 724-726  
CODEN: YCHHDX; ISSN: 0253-2786  
PB Youji Huaxue Bianjibu  
DT Journal  
LA Chinese  
OS CASREACT 144:331376  
AB 2-Chloronicotioyl isothiocyanate reacted with substituted  
2-aminopyrimidine under the alkali condition to give 2-(pyrimidin-2-  
yl)amino-4H-pyrido[3,2-e][1,3]thiazin-4-one directly. Six  
2-(pyrimidin-2-yl)amino-4H-pyrido[3,2-e][1,3]thiazin-4-one compds. were  
synthesized. The structures of these compds. were confirmed by IR, <sup>1</sup>H NMR  
spectra and elemental analyses. A possible mechanism of the reaction was  
proposed.

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L5 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:370910 CAPLUS  
DN 140:375181  
TI Etherification method for the production of 2-amino-4-chloro-6-  
alkoxypyrimidines from 2-amino-4,6-dichloropyrimidine and alkali metal  
alkoxides or alkali and alkanols  
IN Huber, Sylvia; Guethner, Thomas; Moser, Wolfgang; Krammer, Doris  
PA Degussa A.-G., Germany  
SO PCT Int. Appl., 16 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037795	A1	20040506	WO 2003-EP11844	20031024
	W: JP, US				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
	IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	DE 10249946	A1	20040519	DE 2002-10249946	20021026
	DE 10249946	B4	20050623		
	EP 1554255	A1	20050720	EP 2003-775230	20031024
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
	JP 2006512305	T	20060413	JP 2004-545989	20031024
	US 2006035913	A1	20060216	US 2005-528959	20050322
PRAI	DE 2002-10249946	A	20021026		
	WO 2003-EP11844	W	20031024		

OS CASREACT 140:375181

AB 2-Amino-4-chloro-6-alkoxypyrimidines (e.g., 2-amino-4-chloro-6-methoxypyrimidine) are prepared in high yield and selectivity by reacting 2-amino-4,6-dichloropyrimidine with an alkali metal alcoholate (e.g., sodium methoxide) or a mixture of alkali hydroxides and an alc. in a polar aprotic solvent (e.g., acetone), or a solvent mixture, where the solvent is distilled off to >30% percent and the product is precipitated by adding water during

or following the distillation process.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

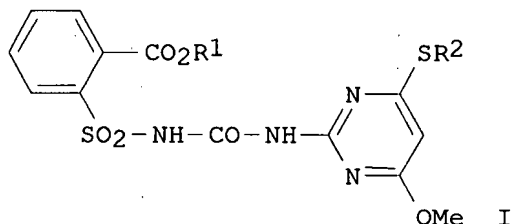
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L5 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:205964 CAPLUS  
DN 142:74474  
TI Product class 12: pyrimidines  
AU von Angerer, S.  
CS Germany  
SO Science of Synthesis (2004), 16, 379-572  
CODEN: SSCYJ9  
PB Georg Thieme Verlag  
DT Journal; General Review  
LA English  
AB A review. Methods for preparing pyrimidines are reviewed including  
cyclization, ring transformation, aromatization and substituent  
modification.  
RE.CNT 856 THERE ARE 856 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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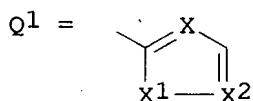
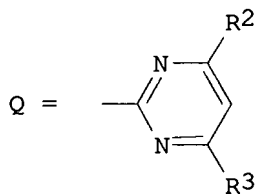
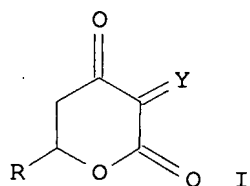
L5 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2002:857826 CAPLUS  
DN 137:321562  
TI Sulfonyl urea compound and its application as a herbicide  
IN Zhao, Fengge; Cao, Guanghong; Xie, Longguan; Jiang, Jun; Cheng, Muru; Lu, Qingsong; Han, Yimin; Ye, Hongyu; Chen, Xiaohui  
PA Lianyungang City No.2 Pesticide Plant, Peop. Rep. China  
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.  
CODEN: CNXXEV  
DT Patent  
LA Chinese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	CN 1337158	A	20020227	CN 2000-112466	20000815
PRAI	CN 2000-112466		20000815		
GI					



AB Sulfonyl urea compds. I (where: R1 = Me, Et, or iso-Pr and R2 = alkyl, alkenyl, heterocyclic group, aryl, alkylheterocyclic group, or arylalkyl) are synthesized by substituting 4,6-dichloro-2-pyrimidinamine with Na methoxide, substituting again with NaHS and acidifying to obtain 2-amino-6-methoxy-4-pyrimidinethiol; S-alkylating with R2X to obtain 4-(R2S)-6-methoxy-2-pyrimidinethiol (a); allowing to react 2-(R1O-carbonyl)phenylsulfonamide with oxalyl chloride to obtain 2-(R1O-carbonyl)phenylsulfonyl isocyanate (b); and then condensing (a) with (b). The sulfonyl urea compds. may be used as herbicides.

L5 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2001:610319 CAPLUS  
 DN 135:344347  
 TI Synthesis and fungicidal activity of 3-heterocyclylaminomethylene-5,6-dihydro-6-alkyl(aryl)-2H-pyran-2,4-diones  
 AU Wang, You-Ming; Li, Zheng-Ming; Han, Yu-Fen; Jia, Bao-Jun; Wang, Yu-Lin  
 CS Institute of Elemento-Organic Chemistry, Nankai University, Tianjin, 300071, Peop. Rep. China  
 SO Yingyong Huaxue (2001), 18(7), 524-527  
 CODEN: YIHUED; ISSN: 1000-0518  
 PB Yingyong Huaxue Bianji Weiyuanhui  
 DT Journal  
 LA Chinese  
 OS CASREACT 135:344347  
 GI



AB Title compds. I (R = CH<sub>3</sub>(CH<sub>2</sub>)<sub>2</sub>, C<sub>6</sub>H<sub>5</sub>; Y = CHNHR<sub>1</sub>; R<sub>1</sub> = Q, Q<sub>1</sub>; R<sub>2</sub> = CH<sub>3</sub>O, CH<sub>3</sub>, H, Cl; R<sub>3</sub> = H, CH<sub>3</sub>O, CH<sub>3</sub>; X = N, CCN, CCOEt; X<sub>1</sub> = S, NCH<sub>3</sub>, NC<sub>6</sub>H<sub>5</sub>; X<sub>2</sub> = CH, N) were prepared by condensation of I (Y = H<sub>2</sub>) with Et orthoformate and R<sub>1</sub>NH<sub>2</sub>. A pair of conformational isomers existed in I due to the intramol. hydrogen bonding. Title compds. I were tested in vitro against *H. Oryzae*, *B. Cinerae* and *S. Sclerotiorum*, showing some fungicidal activity.

L5 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2000:703333 CAPLUS  
DN 134:162984  
TI Synthesis of 2-amino-4-chloro-6-methoxypyrimidine  
AU Zhu, Lu; Zhao, Lei; Ren, Cui-ping  
CS School of Chemistry and Chemical Engineering; Zhengzhou University,  
Zhengzhou, 450052, Peop. Rep. China  
SO Zhengzhou Daxue Xuebao, Ziran Kexueban (2000), 32(2), 87-88  
CODEN: ZDZKFG; ISSN: 1001-8212  
PB Zhengzhou Daxue Xuebao Bianjibu  
DT Journal  
LA Chinese  
OS CASREACT 134:162984  
AB Guanidine nitrate and di-Et malonate were used to synthesis  
2-amino-4-chloro-6-methoxypyrimidine, by cyclization, chloridization  
hydrolysis and methoxylation. The yield reaches 70% and the structure is  
confirmed by IR test. The goal compound, 2-amino-4-chloro-6-  
methoxypyrimidine, is a key intermediate for preparing anticancer medicine.

10/528,959

L5 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:211315 CAPLUS

DN 132:308038

TI Capillary electrochromatography of pyrimidine derivatives using UV and mass spectrometric detection

AU Ahrer, Werner; Hagenauer, Isolde; Buchberger, Wolfgang

CS Department of Analytical Chemistry, University of Linz, Linz, A-4040, Austria

SO Monatshefte fuer Chemie (2000), 131(2), 155-163

CODEN: MOCMB7; ISSN: 0026-9247

PB Springer-Verlag Wien

DT Journal

LA English

AB The separation of pyrimidine derivs. by capillary electrochromatog. (CEC) using either UV or mass spectrometric detection is described. For UV detection an aqueous phosphate carrier electrolyte containing MeCN is employed. The results

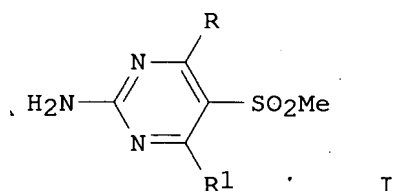
are compared to the anal. of the same compds. by micellar electrokinetic chromatog. in terms of selectivity, migration times, linearity, and detection limits. For the combination of CEC and mass spectrometry (MS) an inexpensive way to couple com. available instruments is presented; the interface consists of an elec. grounded stainless steel connector (containing a stainless steel frit) serving as the electrode and coupling the CEC capillary with a fused SiO<sub>2</sub> transfer capillary to the MS instrument. Alternatively, a PEEK adapter combining the CEC capillary and a grounded stainless steel transfer capillary serving as the electrode is employed. To avoid the formation of H gas at the coupling piece or the transfer capillary, p-benzoquinone is added to the carrier electrolyte consisting of aqueous NH<sub>4</sub>OAc and MeCN.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



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L5 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1995:874233 CAPLUS  
DN 124:86931  
TI Synthesis of new 2-amino-4-cyanomethyl-5-methylsulfonylpyrimidine  
derivatives  
AU Kim, Jung-Hwan; Han, Mun-Su; Kim, Un-Ju  
CS Dep. Chem., Yeungnam Univ., Gyongsan, 712-749, S. Korea  
SO Journal of the Korean Chemical Society (1995), 39(9), 728-33  
CODEN: JKCSEZ; ISSN: 1017-2548  
PB Korean Chemical Society  
DT Journal  
LA Korean  
GI



AB Title compds. I (R = Cl, MeO, EtO, PhO, NH<sub>2</sub>, PhNH; R<sup>1</sup> = CH<sub>2</sub>CN) were prepared in 65-78% yield by reaction of I (R<sup>1</sup> = Cl) with tert-Bu cyanoacetate.

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L5 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1995:684443 CAPLUS  
DN 123:77071  
TI Alcoholysis and chemical hydrolysis of chlorimuron-ethyl  
AU Sabadie, J.  
CS Groupe d'Etudes et de Recherche Appliquees Pluridisciplinaires, UA CNRS  
461, Perpignan, 66860, Fr.  
SO Weed Research (1995), 35(1), 33-40  
CODEN: WEREAT; ISSN: 0043-1737  
PB Blackwell  
DT Journal  
LA English  
AB Alcoholysis (MeOH or EtOH) and hydrolysis ( $\text{pH} \leq 8$ ) of chlorimuron Et  
at  $30^\circ$  or  $50^\circ$  involved the breakdown of the urea function.  
The pyrimidinamine is always obtained in high yield along with the  
corresponding carbamate (alcoholysis) or phenylsulfonamide (hydrolysis).  
This compound was easily cyclized to saccharin ( $\text{pH} \geq 6$ ). In alkaline  
solution, the carbethoxy substituent of the aromatic ring was preferentially  
hydrolyzed. The first-order kinetic consts. were characterized. No  
formation of desmethyl chlorimuron was observed

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L5 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1994:54558 CAPLUS

DN 120:54558

TI New sulfonylureas useful as herbicides and their preparation

IN Meyer, Willy; Jau, Beat; Kuehlmeier, Rainer; Pissiotas, Georg; Schurter, Rolf; Foery, Werner

PA Ciba-Geigy A.-G., Switz.

SO Ger. Offen., 26 pp.

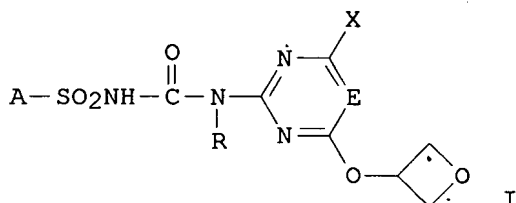
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4304864	A1	19930826	DE 1993-4304864	19930217
PRAI	CH 1992-521	A	19920220		
OS	MARPAT 120:54558				
GI					



AB Title compds. I [A = various substituted Ph, thienyl, pyridyl, pyrazolyl, imidazopyridyl, sulfonamido, or benzoxathianyl groups; R = H, Me; E = N, CH; X = Cl-4 (halo)alkyl or (halo)alkoxy, halo, cyclopropyl, NHMe, NMe2] were prepared as herbicides and plant-growth inhibitors. Thus, etherification of 3-hydroxyoxetane with 2-amino-4-chloro-6-methoxy-1,3-pyrimidine by substitution of chloro, and reaction of the product with 2-(MeO2C)C6H4SO2N:C:O at the amino group, gave title compound I [A = 2-(MeO2C)C6H4, R = H, X = OMe, E = CH]. I are said to show strong pre- and postemergent herbicidal activity against various weeds (no data).

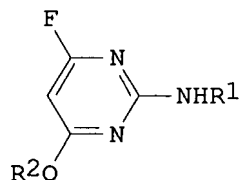
10/528,959

L5 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1992:154162 CAPLUS  
DN 116:154162  
TI Synthesis of 2-aminopyrimidine derivatives  
AU Kong, Fanlei; Wang, Lanqing; Hu, Xinhua; Tao, Zhiming; Wang, Xiaoqing;  
Cao, Weiqun; Dong, Yu  
CS Jiangsu Provin. Horm. Inst., Peop. Rep. China  
SO Huaxue Shijie (1991), 32(6), 254-7  
CODEN: HUAKAB; ISSN: 0367-6358  
DT Journal  
LA Chinese  
AB Production methods for 12 2-aminopyrimidine derivs. by cyclocondensation of  
guanidine nitrate with acetylacetone, di-Et malonate, or Et acetoacetate  
were described.

10/528,959

L5 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1991:42806 CAPLUS  
DN 114:42806  
TI Preparation of 2-amino-4-fluoro-6-alkoxypyrimidines  
IN Hamprecht, Gerhard  
PA BASF A.-G., Germany  
SO Ger. Offen., 12 pp.  
CODEN: GWXXBX  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3900471	A1	19900712	DE 1989-3900471	19890110
	CA 2005596	A1	19900710	CA 1989-2005596	19891214
	CA 2005596	C	19980217		
	US 5011927	A	19910430	US 1989-452106	19891218
	EP 378089	A1	19900718	EP 1990-100075	19900103
	EP 378089	B1	19940629		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 02225473	A	19900907	JP 1990-720	19900108
PRAI	DE 1989-3900471	A	19890110		
OS	CASREACT 114:42806; MARPAT 114:42806				
GI					



AB The title compds. [I; R<sub>1</sub> = H, alkyl, alkenyl, alkynyl, cycloalkyl, (un)substituted Ph, PhCH<sub>2</sub>; R<sub>2</sub> = groups cited for R<sub>1</sub> excepting H] were prepared by condensation of 2,4,5-trifluoropyrimidine (II) with R<sub>1</sub>NH<sub>2</sub> at -80° to -15°, separation of isomeric products, and condensation with R<sub>2</sub>ON in the presence of an (organic) base. Thus, liquid NH<sub>3</sub> was added to a solution of II in Et<sub>2</sub>O at -30° to -20° to give 91% 2-amino-4,6-difluoropyrimidine which was refluxed 5 h in MeON containing NaOMe to give 88% I (R<sub>1</sub> = H, R<sub>2</sub> = Me).

10/528,959

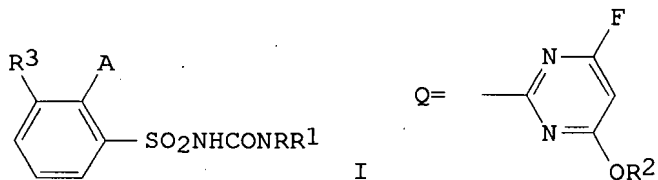
L5 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1990:631401 CAPLUS  
DN 113:231401  
TI Preparation of N-(2-pyrimidinyl)-N'-(phenylsulfonyl)ureas as herbicides  
IN Hamprecht, Gerhard; Westphalen, Karl Otto; Wuerzer, Bruno  
PA BASF A.-G., Germany  
SO Ger. Offen., 23 pp.  
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3900472	A1	19900712	DE 1989-3900472	19890110
	CA 2005595	A1	19900710	CA 1989-2005595	19891214
	CA 2005595	C	19990525		
	EP 378092	A1	19900718	EP 1990-100079	19900103
	EP 378092	B1	19940511		
	R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
	HU 52763	A2	19900828	HU 1990-75	19900109
	HU 206498	B	19921130		
	JP 02225472	A	19900907	JP 1990-1663	19900110
	JP 2834247	B2	19981209		
PRAI	DE 1989-3900472	A	19890110		
OS	CASREACT 113:231401; MARPAT 113:231401				
GI					



AB The title compds. [I; A = halo, COBR4; B = O, NR5; R = Q; R1 = H, alkyl, alkenyl, alkynyl; R2 = alkyl; R3 = H, halo; R4 = H, (un)substituted alkyl; R5 = H, alkyl; NR4R5 = heterocyclyl] were prepared as herbicides (no data). Thus, Me 6-fluoroanthranilate was diazotized and the product stirred with SO2 and CuCl2 after which Cl was introduced to give 3,2-F(MeO2C)C6H4SO2R6 (II; R6 = Cl) which was treated with NH3 to give II (R6 = NH2). The latter was condensed with QNH2 (R2 = Me) (preparation give) to give I (A = CO2Me, R1 = H, R2 = Me, R3 = F).

10/528,959

L5 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1989:574117 CAPLUS

DN 111:174117

TI Preparation of 2-amino-4-halo-6-methoxypyrimidine derivatives as materials for drugs and agrochemicals

IN Haga, Takahiro; Tsujii, Yasuhiro; Murai, Shigeo; Yoshizawa, Hiroshi; Tsukada, Sadao

PA Ishihara Sangyo Kaisha, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF

DT Patent

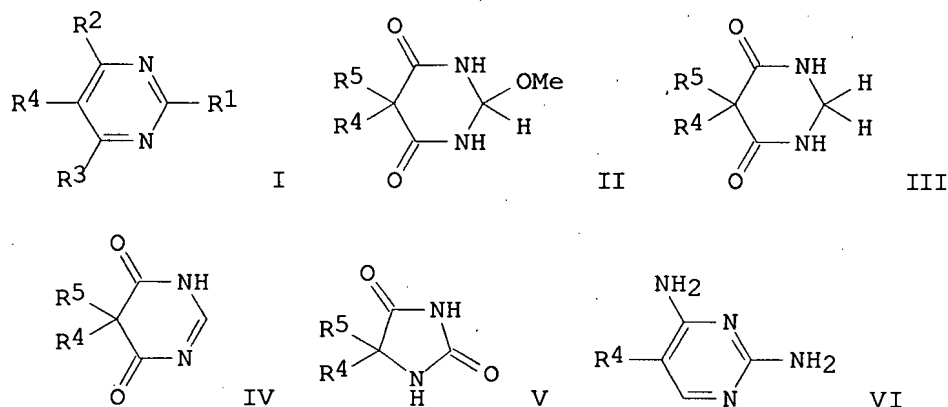
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 01016770	A	19890120	JP 1987-172453	19870710
PRAI	JP 1987-172453		19870710		

AB The title derivs. and their salts are prepared by treating Me N-cyanocyanoacetimide (I) with H halides using benzene with 1-3 alkyl and/or halo substituents as the solvent. A solution of 2.46 g I in mesitylene was treated with HCl at -15° over 2 h, then stirred at the same temperature for 30 min to give 2-amino-4-chloro-6-methoxypyrimidine-HCl, which was treated with aqueous NaOH to give 2.25 g 2-amino-4-chloro-6-methoxypyrimidine (II). Then, a solution of 1.84 g II in MeOH was refluxed with KOH for 4.5 h to give 1.76 g 2-amino-4,6-dimethoxypyrimidine.

L5 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1989:154253 CAPLUS  
 DN 110:154253  
 TI Synthesis and antibacterial effect of derivatives of 5-(3,4,5-trimethoxybenzyl)pyrimidine, -tetrahydropyrimidine, -hexahydropyrimidine and -hydantoin  
 AU Kujundzic, Nedjeljko; Kovacevic, Krunoslav; Jakovina, Miroslav; Gluncic, Berislav  
 CS Pliva Res. Inst., Zagreb, Yugoslavia  
 SO Croatica Chemica Acta (1988), 61(1), 121-35  
 CODEN: CCACAA; ISSN: 0011-1643  
 DT Journal  
 LA English  
 OS CASREACT 110:154253  
 GI

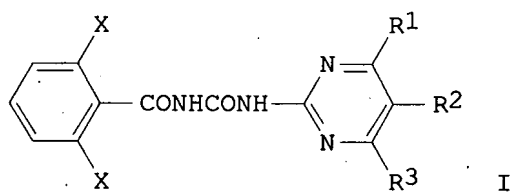


AB Pyrimidine derivs. I ( $R_1$ ,  $R_2$ ,  $R_3$  = Cl, OMe,  $NH_2$ , H, OH,  $R_4$  = 3,4,5-trimethoxybenzyl), hexahydropyrimidine-4,6-diones II and III ( $R_5$  = Me, Et, Pr,  $CH_2CH:CH_2$ , Bu), tetrahydropyrimidine-4,6-diones IV ( $R_5$  the same) and hydantoins V ( $R_5$  the same) were synthesized. In vitro antibacterial activity of these compds. was tested against some bacteria strains and compared with that of the well known bacteriostatic trimethoprim VI. The activity of compound I ( $R_1$  = H,  $R_2$  =  $R_3$  = OH) was higher than that of trimethoprim against *Sarcina lutea* ATCC 9341, *Klebsiella pneumoniae* ATCC 10031 and *Pseudomonas aeruginosa* NCTC 10490 while the compds. of group IV acted also against *Corynebacterium xerosis* NCTC 9755, *E. coli* ATCC 10536 and *Shigella flexneri*.



10/528,959

L5 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1989:75428 CAPLUS  
DN 110:75428  
TI N-(2,6-Dihalobenzoyl)-N-pyrimidinylureas  
AU Bodenteich, Michael; Chemelli, Elke; Griengl, Herfried  
CS Inst. Org. Chem., Tech. Univ. Graz, Graz, A-8010, Austria  
SO Monatshefte fuer Chemie (1987), 118(12), 1395-402  
CODEN: MOCMB7; ISSN: 0026-9247  
DT Journal  
LA German  
OS CASREACT 110:75428  
GI



AB N-(2,6-Dichlorobenzoyl)- and N-(2,6-difluorobenzoyl)-N'-pyrimidinylureas I (X = F, Cl, R1 = H, Cl, OEt, R2 = H, Cl, OPh, R3 = H, Cl, OPh, Me) have been synthesized by reaction of the corresponding aminopyrimidine derivs. with 2,6-dichlorobenzoyl isocyanate or 2,6-difluorobenzoyl isocyanate. The insecticidal activity of I has been evaluated.

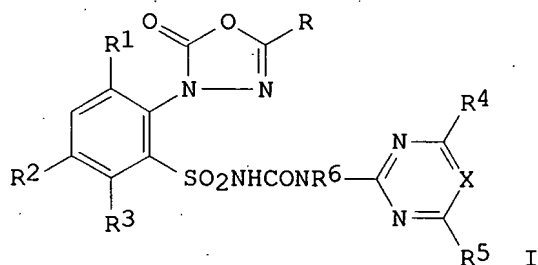
L5 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1988:473447 CAPLUS  
 DN 109:73447  
 TI Preparation and testing of [(oxadiazolylphenyl)sulfonyl] urea herbicides  
 IN Borrod, Guy; Guigues, Francois  
 PA Rhone-Poulenc Agrochimie, Fr.  
 SO Eur. Pat. Appl., 37 pp.  
 CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 246984	A2	19871125	EP 1987-420117	19870504
	EP 246984	A3	19880302		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2598416	A1	19871113	FR 1986-6794	19860507
	FR 2605007	A2	19880415	FR 1986-14279	19861010
	JP 62263178	A	19871116	JP 1987-108759	19870501
	ZA 8703213	A	19871230	ZA 1987-3213	19870505
	DK 8702311	A	19871108	DK 1987-2311	19870506
	FI 8702000	A	19871108	FI 1987-2000	19870506
	AU 8772527	A	19871112	AU 1987-72527	19870506
	BR 8702317	A	19880217	BR 1987-2317	19870506
	HU 44138	A2	19880229	HU 1987-2035	19870506
	DD 256255	A5	19880504	DD 1987-302502	19870506
	CN 87103399	A	19871216	CN 1987-103399	19870507
PRAI	FR 1986-6794	A	19860507		
	FR 1986-14279	A	19861010		
OS	CASREACT 109:73447				
GI					



AB The title compds. [I; R = H, (halo)alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl; R1-R3 = H, halo, (halo)alkyl, alkoxy(carbonyl); R4, R5 = halo, (halo)alkyl, alkoxy; R6 = H, alkyl; X = CH, N] were prepared as herbicides. 2-(2,3-Dihydro-2-oxo-1,3,4-oxadiazol-3-yl)benzenesulfonamide (preparation given) was treated with COCl2 and BuNCO in xylene containing 1,4-diazobicyclo[2.2.2]octane to give the corresponding benzenesulfonyl isocyanate which was condensed with 2-amino-4,6-dimethylpyrimidine to give I (R-R3 = R6 = H, R4 = R5 = Me, X = CH) (II). In pre- and postemergence tests 125 g II/ha gave 100% control of, e.g., Echinochloa crus-galli and Lolium multiflorum. Application formulations are given.

L5 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1986:400162 CAPLUS

DN 105:162

TI Inhibitors of *Bacillus subtilis* DNA polymerase III. Influence of modifications in the pyrimidine ring of anilino- and (benzylamino)pyrimidines

AU Trantolo, Debra J.; Wright, George E.; Brown, Neal C.

CS Med. Sch., Univ. Massachusetts, Worcester, MA, 01605, USA

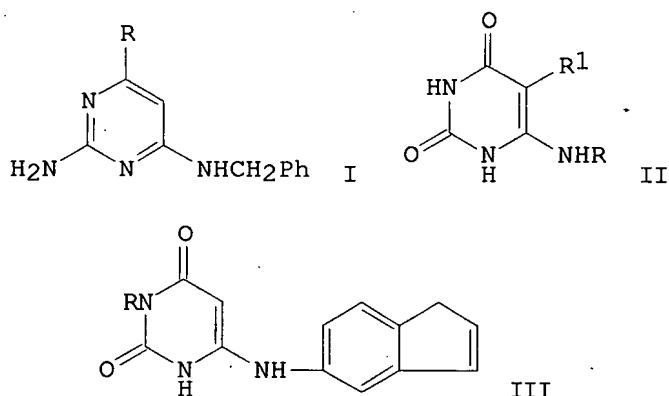
SO Journal of Medicinal Chemistry (1986), 29(5), 676-81

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

GI

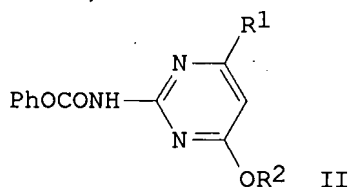
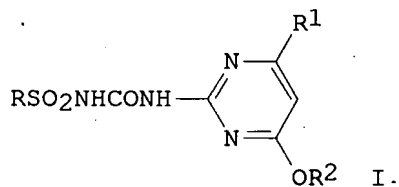


AB Of thirty-nine title compds. [I, R = Cl, Me, OPh, NH<sub>2</sub>, alkoxy, (substituted phenoxy, SMe, SCH<sub>2</sub>Ph, or SCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Cl-4; II, R = H, Me, Et, N; I, Br, etc.; R<sub>1</sub> = CH<sub>2</sub>Ph or C<sub>6</sub>H<sub>4</sub>Me-4; III, R = Me, Et, Pr, Bu; 6-(benzylamino)isocytosine; and 6-(5-indanylamino)isocytosine], 33 were prepared (e.g. by reaction of 5-indanylamine [24425-40-9] with the appropriate 3-alkyl-6-chlorouracil or by heating the appropriately prepared 2-amino-4-alkoxy- or 2-amino-4-aryloxy-6-chloropyrimidine with benzylamine [100-46-9]) and tested for inhibitory activity against DNA polymerase III of *B. subtilis*. Structure-activity relations are discussed. Apparently, the Ph rings of these compds. must exist in conformations in which they are perpendicular to the pyrimidine ring plane; charge-transfer stabilization of such active conformations may compensate for steric barriers from 5-halo groups in the inhibitor-enzyme complex.

10/528,959

L5 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1986:104433 CAPLUS  
DN 104:104433  
TI Herbicidal halopyrimidines  
IN Brown, Hugh Malcolm; Pasteris, Robert James  
PA du Pont de Nemours, E. I., and Co., USA  
SO Eur. Pat. Appl., 104 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	EP 161905	A2	19851121	EP 1985-303256	19850508
	EP 161905	A3	19860917		
	EP 161905	B1	19900801		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 4612035	A	19860916	US 1984-608556	19840509
	US 4659361	A	19870421	US 1985-721602	19850412
	CA 1229086	A1	19871110	CA 1985-480922	19850507
	AU 8542088	A	19851114	AU 1985-42088	19850508
PRAI	US 1984-608556	A	19840509		
	US 1984-619276	A	19840611		
	US 1985-721602	A	19850412		
OS	CASREACT 104:104433; MARPAT 104:104433				
GI					

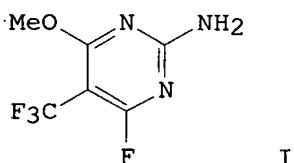


AB The sulfonylureidohalopyrimidines I [R = (un)substituted aryl, heterocyclic radical; R<sub>1</sub> = iodo, Br; R<sub>2</sub> = Me, Et] are herbicides. Thus, I [R = 2,5-(MeO<sub>2</sub>C)MeC<sub>6</sub>H<sub>3</sub>, R<sub>1</sub> = iodo, R<sub>2</sub> = Me], applied pre-emergently in the greenhouse at 0.05 kg/ha, controlled morningglory (Ipomoea) and purple nutsedge (Cyperus rotundus) with no damage to wheat. I are prepared, e.g., by reacting a sulfonamide RSO<sub>2</sub>NH<sub>2</sub> with a phenyl carbamate II.

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L5 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1984:611175 CAPLUS  
DN 101:211175  
TI 2-Amino-4-fluoro-5-trifluoromethyl-6-methoxypyrimidine  
PA Nippon Mectron Co., Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 3 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 59104366	A	19840616	JP 1982-212525	19821203
PRAI	JP 1982-212525		19821203		
GI					

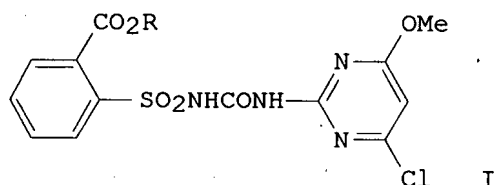


AB Title compound (I) was prepared by reaction of (F3C)2C:CFOMe (II) with H2NC(:NH)NH2 (III). Thus, 1 g II in CH2Cl2 and 0.1 g PhCH2N+Me3 Cl- were added to an aqueous mixture of 2 g III carbonate and 0.8 g NaOH and the whole was kept 1 h at room temperature to give 79% I.

10/528,959

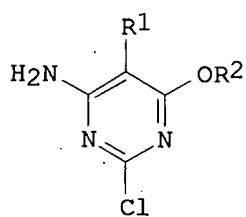
L5 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1984:455111 CAPLUS  
DN 101:55111  
TI Pyrimidinylureidosulfonylbenzoates for controlling undesirable plant growth  
IN Wolf, Anthony David  
PA du Pont de Nemours, E. I., and Co. , USA  
SO Braz. Pedido PI, 22 pp.  
CODEN: BPXXDX  
DT Patent  
LA Portuguese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BR 8303322	A	19840207	BR 1983-3322	19830622
	AU 8316181	A	19840105	AU 1983-16181	19830623
	AU 574645	B2	19880714		
	CA 1229087	A1	19871110	CA 1983-431042	19830623
	SU 1215603	A3	19860228	SU 1983-3609451	19830624
	US 4547215	A	19851015	US 1983-528607	19830906
	US 4645530	A	19870224	US 1985-770258	19850828
PRAI	US 1982-392364	A	19820625		
	US 1983-528607	A2	19830906		
OS	MARPAT 101:55111				
GI					

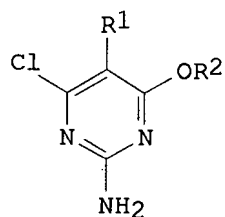


AB Title compds. I ( $\text{R} = \text{Et}$ ,  $\text{CHMe}_2$ , allyl) were prepared Thus, 2-amino-4,6-dichloropyrimidine was methoxylated and treated with 2-EtO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NCO to give I ( $\text{R} = \text{Et}$ ). At 0.05 kg/ha post-emergence I ( $\text{R} = \text{Et}$ ) gave total control of Xanthium pennsylvanicum.

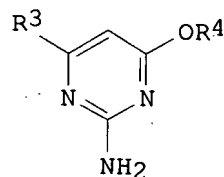
L5 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1976:405585 CAPLUS  
 DN 85:5585  
 TI A novel synthesis of pyrimidines. II. Cyclization of alkyl N-cyano  
 cyanoacetimidates with hydrogen halides  
 AU Hirayama, Tadamasu; Kamada, Masahiro; Mimura, Masataka; Tsurumi, Hideaki  
 CS Res. Inst., Daiichi Seiyaku Co., Ltd., Tokyo, Japan  
 SO Chemical & Pharmaceutical Bulletin (1976), 24(3), 507-14  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DT Journal  
 LA English  
 OS CASREACT 85:5585  
 GI



II



III



IV

AB Reaction of NCCHR<sub>1</sub>C(OR<sub>2</sub>):NH·HCl (R<sub>1</sub> = H, Me, Ph; R<sub>2</sub> = Me, Et, Pr, CHMe<sub>2</sub>, Bu) with H<sub>2</sub>NCN in the presence of a dispersing agent gave NCCHR<sub>1</sub>C(OR<sub>2</sub>):NCN(I) in good yields, cyclization of which gave a mixture of the 2-chloropyrimidines II and the 6-chloropyrimidines III, whereas in the presence of a Lewis acid only II were obtained. HBr and HI gave the aminopyrimidinols IV (R<sub>3</sub> = Br, R<sub>4</sub> = H, Me, Pr; R<sub>3</sub> = I, R<sub>4</sub> = H, resp.).

L5 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1974:520570 CAPLUS  
DN 81:120570  
TI Novel synthesis of pyrimidines. III. Cyclization of alkyl  
N-cyanocyanoacetimidates  
AU Hirayama, Tadamasu; Kamada, Masahiro; Mimura, Masataka; Tsurumi, Hideaki  
CS Res. Inst., Daiichi Seiyaku Co., Ltd., Tokyo, Japan  
SO Heterocycles (1974), 2(4), 461-6  
CODEN: HTCYAM; ISSN: 0385-5414  
DT Journal  
LA English  
GI For diagram(s), see printed CA Issue.  
AB The treatment of cyanoacetimidates, NCCHRC(OR1):NH.HCl, with H2NCN gave the N,2-dicyanoacetimidates, NCCHRC(OR1):NCN (I), which, treated with HX in a nonpolar solvent such as ether or benzene, gave halo pyrimidines II (R = H, Me, Ph; R1 = Me, Et, Pr, Me2CH; R2 = Cl, Br). Treatment of II (R = H, R1 = Me) with HBr in a polar solvent such as HOAc unexpectedly gave 2-amino-6-bromo-4-methoxypyrimidine and 2-amino-6-bromo-4-hydroxypyrimidine.



L5 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1972:34191 CAPLUS  
DN 76:34191  
TI Pyrimidine derivatives. XVII. 2-Amino-4,6-dihydroxy-5-(p-  
alkoxybenzyl)pyrimidines and some of their reactions  
AU Aroyan, A. A.; Kaldrikyan, M. A.; Grigoryan, L. A.  
CS Inst. Tonkoi Org. Khim. im. Mndzhoyana, Erevan, USSR  
SO Armyanskii Khimicheskii Zhurnal (1971), 24(8), 721-6  
CODEN: AYKZAN; ISSN: 0515-9628  
DT Journal  
LA Russian  
GI For diagram(s), see printed CA Issue.  
AB The title compds. I (R1=R2=OH) (II) were prepared in 86-91% yield by  
treating H2NC(:NH)NH2.HCl with p-alkoxybenzylmalonic esters in presence of  
3 equivalent of NaOEt. Prolonged boiling of II with POCl3 gave I (R1=R2=Cl),  
which reacted with NaOEt, NH2NH2.H2O or ethylenimine to produce I (R1=Cl,  
R2=OEt), I (R1=Cl, R2=NHNH2) or I (R1=Cl, R2=1-aziridiny), resp.

L5 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1968:95774 CAPLUS  
DN 68:95774  
TI 5-Cyanopyrimidine derivatives through the cyclization of  
1-cyanamino-2,2-dicyanoethylenes  
AU Allenstein, Eckhard; Fuchs, Rainer  
CS Univ. Stuttgart, Stuttgart, Fed. Rep. Ger.  
SO Chemische Berichte (1968), 101(4), 1244-9  
CODEN: CHBEAM; ISSN: 0009-2940  
DT Journal  
LA German  
OS CASREACT 68:95774  
AB 1-Ethoxy, 1-(methylamino), and 1-(dimethylamino) derivs. of Na  
1-cyanamino-2,2-dicyanoethylenides or the free acids were cyclized by  
treatment with HCl, giving the 6-ethoxy, 6-(methylamino), and  
6-(dimethylamino) derivs. of 4-chloro-2-amino-5-cyanopyrimidine.  
Similarly, Na 1-amino-1-cyanamino-2,2-dicyanoethylenide gave  
4,6-diamino-2-chloro-5-cyanopyrimidine. Further derivs. were prepared by  
substituting EtO and NH2 groups for the Cl on the pyrimidine obtained.

L5 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1966:490645 CAPLUS

DN 65:90645

OREF 65:16966b-h,16967a-e

TI Pyrimidines series. XVIII. Synthesis and reactions of 4-chloro-5-nitropyrimidines

AU Buehler, Eberhard; Pfleiderer, Wolfgang

CS Tech. Hochsch., Stuttgart, Germany

SO Chemische Berichte (1966), 99(9), 2997-3007

CODEN: CHBEAM; ISSN: 0009-2940

DT Journal

LA German

GI For diagram(s), see printed CA Issue.

AB cf. preceding abstract The synthesis of new 4-chloro-5-nitropyrimidines is described; their reactivity towards various nucleophilic reagents was investigated. 4-Chloro-1,3-dimethyluracil (I) (42.5 g.) in 125 cc.

concentrated

H<sub>2</sub>SO<sub>4</sub> treated <15° with stirring dropwise with 42 cc. fuming HNO<sub>3</sub>

(d. 1.5) and stirred into 500-600 g. ice yielded 49.5 g. (crude) pale yellow 5-NO<sub>2</sub> derivative of I, m. 80-3° (sublimed in vacuo at

70-5°). 2-Amino-4-chloro-6-methoxypyrimidine (II) (3 g.) in 6 cc.

concentrated H<sub>2</sub>SO<sub>4</sub> treated dropwise at room temperature with 3 cc. fuming

HNO<sub>3</sub>, heated

15 min. at 65-70°, cooled to 0°, and stirred into 50 g.

crushed ice gave 1 g. pale yellow 5-NO<sub>2</sub> derivative (III) of II, m.

177-9° (sublimed at 90°/0.01 mm.). 4-Chloro-6-methoxy-2-

nitraminopyrimidine (IV) (0.5 g.) in 1 cc. H<sub>2</sub>SO<sub>4</sub> heated 15 min. at

70° and poured onto ice gave pale yellow III, m. 177-9°

(H<sub>2</sub>O). 4-Chloro-2-dimethylamino-6-methoxypyrimidine (V) (10 g.) in 20 cc.

concentrated H<sub>2</sub>SO<sub>4</sub> treated dropwise at 0-5° with 10 cc. fuming HNO<sub>3</sub> gave

6.6 g. light yellow 5-NO<sub>2</sub> derivative (VI) of V, m. 114-16° (EtOH). II

(5 g.) in 10 cc. concentrated H<sub>2</sub>SO<sub>4</sub> treated at 0-5° with 5 cc. fuming

HNO<sub>3</sub> and kept 1 hr. at room temperature yielded 2.4 g. IV, m. 120-2°

(ligroine). IV (1 g.) in 60 cc. EtOH hydrogenated at room temperature over

Raney Ni gave 0.55 g. II, m. 165°. 2,6-Diamino-4-chloropyrimidine

(VII) (4 g.) in 8 cc. concentrated H<sub>2</sub>SO<sub>4</sub> treated slowly with stirring and

cooling with 4 cc. fuming HNO<sub>3</sub> yielded 2.5 g. pale yellow 2-O<sub>2</sub>NNH analog

of VII, m. 227°. Guanidine carbonate (VIII) (0.88 g.), 0.22 g. Na,

and 30 cc. EtOH refluxed 10 min., treated with 1 g. 2-amino-4-chloro-1-

methyl-5-nitro-6-oxodihydropyrimidine (IX), and refluxed 2 hrs. gave 0.25

g. yellow 4-H<sub>2</sub>NC(:NH)NH analog of IX, m. 229-31° (decomposition) (H<sub>2</sub>O).

IX (2 g.) and 0.75 g. urea in 40 cc. EtOH refluxed 0.5 hr. and kept

overnight yielded 1.75 g. pale yellow 4-H<sub>2</sub>NC(:NH)O analog of IX, m.

187-9° (decomposition) (EtOH). VIII (5.25 g.), 1.35 g. Na, and 120 cc.

EtOH refluxed 10 min., treated with 6 g. 4-chloro-2-dimethylamino-5-

nitropyrimidine, refluxed 1 hr., and kept overnight gave 3 g. light yellow

needles, m. 191-3° (decomposition). N-(2-Amino-1-methyl-4-nitro-6-oxo-4-

dihydropyrimidinyl)pyridinium chloride (1.4 g.) in 50 cc. absolute EtOH

refluxed 1.5 hrs. with 1.3 cc. PhNH<sub>2</sub> yielded 0.8 g. 2-amino-4-anilino-1-

methyl-5-nitro-6-oxodihydropyrimidine (X), m. 292-4° (decomposition)

(EtOH). IX (1 g.) and 1.3 cc. PhNH<sub>2</sub> in 45 cc. EtOH refluxed 0.5 hr.

yielded 0.95 g. X. 2-Amino-4-chloro-5-nitro-6-oxodihydropyrimidine (XI)

(1 g.) and 4 g. 2-aminopyridine (XII) in 100 cc. absolute EtOH refluxed 75

min. gave 0.45 g. 4-(2-pyridylamino) analog (XIII) of XI, m. 305-7°

(decomposition). XIII (1 g.) in 50 cc. MeOH hydrogenated at room temperature

over

Raney Ni, treated with 2 cc. AcCO<sub>2</sub>Et, filtered, and refluxed 1.5 hrs.

yielded 0.2 g. pale yellow XIV, m. from 305° (decomposition).

4-Chloro-2-dimethylamino-5-nitropyrimidine (XV) (2 g.) and 4 g. XII fused

about 10 min. at 70° gave 1.3 g. 4-(2-pyridylamino) analog (XVI) of

XV, m. 210-12°. XV (2 g.) and 4 g. 3-aminopyridine gave similarly

1.8 g. pale yellow 4-(3-pyridylamino) analog of XV, m. 219-21° (EtOH). 2-Amino-4-chloro-6-methoxy-5-nitropyrimidine (0.5 g.) and 2 g. XII fused at 100° gave 0.25 g. pale yellow 4-(2-pyridylamino) analog of II, m. 213-15° (EtOH). XVI (0.5 g.) in 30 cc. MeOH hydrogenated over Raney Ni, treated with 0.5 cc. AcCO<sub>2</sub>Et, filtered, and refluxed 0.5 hr. yielded 0.15 g. pale yellow XVII, m. 203-4° (decomposition) (ligroine). 2,4,5-Triamino-1-methyl-6-oxodihydropyrimidine dihydrochloride (4.6 g.), 0.92 g. Na, and 100 cc. EtOH refluxed 15 min., cooled to room temperature, treated with 2 g. IX, and refluxed 40 min. gave 2.2 g. light yellow XVIII, m. >350° (decomposition) (2N HCl). XV (1 g.) and 1.5 g. 4,6-diamino-2-dimethylaminopyrimidine (XIX) in 50 cc. BuOH refluxed 4 hrs., cooled overnight, and filtered, and the residue boiled with 750 cc. xylene left XIX. HCl; the filtrate deposited overnight 0.33 g. orange XX, m. 241-3° (EtOH). XI (0.5 g.) in 6 cc. dry HCONMe<sub>2</sub> heated 5 min. at 80° with 1 cc. absolute C<sub>5</sub>H<sub>5</sub>N gave 0.5 g. XXI (R = H) (XXII), m. 210° (decomposition). XXII (0.55 g.) in 8 cc. H<sub>2</sub>O adjusted with solid NaHCO<sub>3</sub> to pH 8-9 and kept several hrs. at room temperature yielded 0.15 g.

yellow N-(2-amino-5-nitro-6-oxo-4-pyrimidinyl)pyridinium betaine, m. >200° (decomposition) (H<sub>2</sub>O). IX (0.5 g.) in 7 cc. dry C<sub>5</sub>H<sub>5</sub>N heated briefly to boiling yielded 0.7 g. light yellow XXI (R = Me) (XXIII), m. 200-2° (decomposition). XV (0.5 g.) in 5 cc. dry C<sub>5</sub>H<sub>5</sub>N gave similarly 0.6 g. XXIV, m. 163-4°. 4-Chloro-5-nitrouracil (XXV) (1 g.) in 5 cc. dry HCONMe<sub>2</sub> and 2 cc. dry C<sub>5</sub>H<sub>5</sub>N heated to 80° gave 0.8 g. light yellow XXVI (R = R' = R'' = H) (XXVII), m. 251° (decomposition) (H<sub>2</sub>O). XXV (1 g.), 1. cc. 3-picoline, and 5 cc. HCONMe<sub>2</sub> gave similarly 0.75 g. light yellow XXVI (R = R'' = H, R' = Me) (XXVIII), m. >260° (decomposition) (H<sub>2</sub>O). XXV (1 g.) and 1.5 cc. dry 4-picoline in 5 cc. HCONMe<sub>2</sub> yielded 0.75 g. yellow XXVI (R = R' = H, R'' = Me) (XXIX), m. from 240° (decomposition) (H<sub>2</sub>O). 1-Me derivative (0.5 g.) of XXV, 1 cc. dry C<sub>5</sub>H<sub>5</sub>N, and 4 cc. dry HCONMe<sub>2</sub> gave similarly 0.45 g. light yellow XXVI (R = Me, R' = R'' = H) (XXIXa), m. 260° (decomposition) (H<sub>2</sub>O). XXII (1 g.) in 15 cc. H<sub>2</sub>O refluxed 10 min. gave 0.5 g. 2-amino-4-hydroxy-5-nitro-6-oxodihydropyrimidine (XXX), m. above 350°. XXIII (0.85 g.) in 10 cc. H<sub>2</sub>O refluxed 5 min. yielded 0.4 g. 1-Me derivative (XXXI) of XXX, m. 300-2° (decomposition) (H<sub>2</sub>O). IX (3 g.) in 30 cc. N NaOH refluxed 1 hr. and acidified with 2N HCl gave 0.5 g. XXXI, m. 297° (decomposition) (very dilute HCl). XI (0.4 g.) in 100 cc. MeOH and 5 cc. dry C<sub>5</sub>H<sub>5</sub>N refluxed 0.45 min. gave 0.3 g. 2-amino-4-methoxy-5-nitro-6-oxodihydropyrimidine (XXXII), m. from 274° (decomposition) (H<sub>2</sub>O). IX (1.2 g.) in 25 cc. MeOH refluxed 50 min. with 5 cc. dry C<sub>5</sub>H<sub>5</sub>N gave 0.6 g. lemon-yellow 1-Me derivative (XXXIII) of XXXII, m. 214-16° (MeOH). XXIV (1.5 g.) in 20 cc. absolute EtOH refluxed briefly with 1.1 g. XII yielded 0.3 g. orange XXXIV, m. 154-6° (decomposition) (CHCl<sub>3</sub>). XV (3 g.) and 0.48 g. Na in 20 cc. EtOH refluxed 45 min. gave 1.9 g. pale yellow 4-EtO analog (XXXV) of XXXIV, m. 104-6° (sublimed at 80° in vacuo). XXIV (2.2 g.) in 5 cc. absolute EtOH refluxed 1.5 hrs. gave 0.5 g. XXXV. The pK values in H<sub>2</sub>O at 20° (given) were determined for the following compds.: XXVII -0.80 ± 0.07, XXVIII -0.12 ± 0.05, XXIX 0.14 ± 0.01, XXIXa -0.39 ± 0.1, XXII, 3.16 ± 0.18, XXXI 5.55 ± 0.07, XXXII 7.41 ± 0.07, XXXIII 1.25 ± 0.04, XIV 8.15 ± 0.09, XVII 2.04 ± 0.02.

L5 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1966:104289 CAPLUS  
 DN 64:104289  
 OREF 64:19637d-h,19638a-b  
 TI Pyrimidine derivative tranquilizers  
 IN Tedeschi, David H.  
 PA Smith Kline & French Laboratories  
 SO 13 pp.  
 DT Patent  
 LA Unavailable  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 657135		19650615	BE	19641215
	GB 1034608			GB	
PRAI	US		19631226		
OS	MARPAT 64:104289				
GI	For diagram(s), see printed CA Issue.				
AB	<p>Pyrimidine derivs. with general formula (I) are prepared A mixture of 12 g. NaOMe, 125 cc. MeOH, and 27.2 g. 1,1-dimethylguanidine sulfate is refluxed for 0.5 hr., cooled, 31.6 g. ethyl acetoacetate (II) added, and the mixture refluxed for 23 hrs. with stirring. The cooled mixture is diluted with 100 cc. water and acidified with AcOH to give 2-(N,N-dimethylamino)-4-hydroxy-6-methylpyrimidine (III). A solution of 4.6 g. III in 20 cc. POCl<sub>3</sub> is refluxed for 4 hrs., cooled, poured onto 100 g. ice, and neutralized. The solution is extracted with ether, the extract dried and evaporated to dryness, and the residue sublimed to give 2-(N,N-dimethylamino)-4-chloro-6-methylpyrimidine, m. 35-6°; hexamate m. 212° (decomposition). The reaction of 2-ethylthio-4-hydroxy-6-methylpyrimidine (IV) with dimethylamine under pressure in a solvent gives III. A mixture of 6 g. guanidine carbonate, 10.2 g. trifluoroethylacetone, and 15 cc. trifluoroacetylacetone is refluxed 1.75 hrs. to give 2-amino-4-methyl-6-trifluoromethylpyrimidine, m. 120-4°. 2-Amino-4,6-dichloropyrimidine (5.8 g.) is added slowly to a cooled solution of 3.85 g. NaOMe in 25 cc. MeOH. The mixture is stirred 24 hrs. at room temperature The precipitate is separated, washed with MeOH and water, and sublimed in vacuo to give 2-amino-4-chloro-6-methoxypyrimidine, m. 172-4°. A solution of 500 g. EtI in 2 l. ether is added slowly to a mixture of 93.5 g. Mg in 250 cc. ether, and the mixture refluxed 45 min. A solution of 140 g. ethyl cyanoacetate in 375 cc. ether is added slowly, and refluxing continued for an addnl. 1 hr. The cooled solution is poured into ice, acidified with concentrated H<sub>2</sub>SO<sub>4</sub>, and decanted. The ethereal layer is dried and evaporated to give ethyl propionylacetate (V), b38-40 98-108°. A mixture of 43.3 g. V, 55.4 g. guanidine carbonate, and 330 cc. anhydrous EtOH is refluxed for 18 hrs., 60 cc. water added, and the mixture refluxed 2 hrs. to give 2-amino-4-hydroxy-6-ethylpyrimidine (VI), m. 249-51°. The reaction of VI with POCl<sub>3</sub> gives 2-amino-4-chloro-6-ethylpyrimidine, m. 121-3°. A mixture of 200 cc. HCONMe<sub>2</sub>, 5.4 g. NaOMe, 27.2 g. 1,1-dimethylguanidine sulfate, and 41.7 g. diethyl malonate is refluxed 5 hrs. to give 2-(N,N-dimethylamino)-4,6-dihydroxy-pyrimidine (VII), m. 356°. The reaction of VII with POCl<sub>3</sub> gives 2-(N,N-dimethylamino)-4,6-dichloropyrimidine, m. 55-6°. A mixture of 100 g. methylguanidine sulfate, 500 cc. HCONMe<sub>2</sub>, and 44.2 g. NaOMe is refluxed, cooled, 53 g. II added, and the mixture refluxed for 5 hrs. to give 2-methylamino-4-hydroxy-6-methylpyrimidine (VIII). The reaction of VIII with POCl<sub>3</sub> gives 2-methylamino-4-chloro-6-methylpyrimidine, m. 135-5.5°. The reaction of IV with methylamine under pressure in a solvent gives VIII. A solution of 10 g. IV, and 20 cc. aqueous ethylamine</p>				

(containing 8.9 g. Et<sub>2</sub>NH) in 25 cc. Cellosolve is heated at 170-80° under pressure for 8 hrs. The solution is evaporated to dryness, and the residue

dissolved in ether and crystallized to give 2-ethylamino-4-hydroxy-6-methylpyrimidine (IX), m. 170-4°. The reaction of IX with POCl<sub>3</sub> gives 2-ethylamino-4-chloro-6-methylpyrimidine, m. 92.5-4.5°.

Similarly prepared were 2-propylamino-4-chloro-6-methylpyrimidine, m. 49-50.5°; 2-amino-4-bromo-6-methylpyrimidine (EtOH), m.

152.5-4°; 2-cyclopropylamino-4-hydroxy-6-methylpyrimidine, m.

220-3°; 2-cyclopropylamino-4-chloro-6-methylpyrimidine, b0.14

82° (hydrochloride m. 174-6°); 2-isopropylamino-4-hydroxy-6-

methylpyrimidine; 2-iso-propylamino-4-chloro-6-methylpyrimidine, b0.2

68-72° (hydrochloride, m. 100-2°); 2-(N-ethyl-N-

methylamino)4-hydroxy-6-methylpyrimidine, m. 152-5°;

2-(N-ethyl-N-methylamino)-4-chloro-6-methylpyrimidine, b0.3 58-9°.

Lactose and Mg stearate are used in the pharmacological preps.

L5 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1963:482241 CAPLUS  
DN 59:82241  
OREF 59:15274b-c  
TI Pyrimidines. I. The synthesis of 6-fluorocytosine and related compounds  
AU Wempen, Iris; Fox, Jack J.  
CS Cornell Univ. Med. Coll., New York, NY  
SO Journal of Medicinal Chemistry (1963), 6(6), 688-93  
CODEN: JMCMAR; ISSN: 0022-2623  
DT Journal  
LA Unavailable  
OS CASREACT 59:82241  
GI For diagram(s), see printed CA Issue.  
AB Syntheses of 6-fluorocytosine (I) and 6-fluoroisocytosine from 2,4,6-trifluoropyrimidine and the preparation of a number of mono- and difluoropyrimidine intermediates are described. 5-Chlorocytosine and 5-chloroisocytosine were obtained from cytosine or isocytosine by use of N-chlorosuccinimide in AcOH. The relative effects of a 5- and 6-halo atom on the ultraviolet absorption spectra and apparent pK<sub>8</sub> values of cytosine and isocytosine are presented.

L5 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1963:27305 CAPLUS

DN 58:27305

OREF 58:4560c-e

TI Sulfanilamide derivatives. I. Reaction of 6-chloro-2,4-dimethoxypyrimidine with sodium amide in liquid ammonia

AU Okuda, Noriyuki; Kuniyoshi, Teji

CS Daiichi Pharm. Co., Tokyo

SO Yakugaku Zasshi (1962), 82, 1031-4

CODEN: YKKZAJ; ISSN: 0031-6903

DT Journal

LA Unavailable

AB A mixture of 2.5 g. 6-chloro-2,4-dimethoxypyrimidine (I) and 40 cc. liquid NH<sub>3</sub> is kept 22 hrs. at 20-4° in an autoclave to give 0.1 g. 2-amino-4-methoxy-6-chloropyrimidine (II), needles, m. 169-70° (C<sub>6</sub>H<sub>6</sub>). A mixture of 12.4 g. I, 8.4 g. NaNH<sub>2</sub>, and 30 cc. liquid NH<sub>3</sub> in an autoclave is kept 12 hrs. at 10° with occasional shaking to give 4.9 g. 2-amino-4,6-dimethoxypyrimidine (III), m. 94.5-96° (H<sub>2</sub>O), besides a small amount of such by-products as 6-amino-2,4-dimethoxypyrimidine, 4-methoxy-2,6-diaminopyrimidine (IV) (picrate m. 242°), and 2-methoxy-4,6-diaminopyrimidine (picrate m. 212°). Reaction of 1.75 g. I with 1.2 g. NaOMe in 30 cc. liquid NH<sub>3</sub> at room temperature 12 hrs. gives 1.7 g. 2,4,6-trimethoxypyrimidine (V), m. 53°. Reaction of 1.7 g. V with 0.55 g. NaNH<sub>2</sub> in 20 cc. liquid NH<sub>3</sub> gives 1.2 g. III. Similarly is obtained IV by treating II with NaNH<sub>2</sub> in liquid NH<sub>3</sub>. Considering these results, the mechanism of reactions from I to III is suggested; the MeO group which is attacked by NaNH<sub>2</sub> at either 2- or 4-position of I reacted with the unreacted I to yield V, then occurred the secondary reaction between V and excess NaNH<sub>2</sub> to give III.



L5 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1962:25089 CAPLUS

DN 56:25089

OREF 56:4752b-i,4753a-h

TI Pteridines. XV. Synthesis of 2-amino-4-alkoxy-7-oxodihydropteridines

AU Pficiderer, Wolfgang; Lohrmann, Rolf

CS Tech. Hochschule, Stuttgart, Germany

SO Chemische Berichte (1961), 94, 2708-21

CODEN: CHBEAM; ISSN: 0009-2940

DT Journal

LA Unavailable

OS CASREACT 56:25089

AB cf. CA 55, 551g, 10462f.-The synthesis of 2-amino-4-alkoxy-7-oxodihydropteridine derivs. was described and their structure discussed on the basis of the ultraviolet absorption spectra. 4,6-Dichloro-2-aminopyrimidine (I) (50 g.) in 220 g. 10% MeNH<sub>2</sub> in PrOH kept at room temperature

overnight, warmed slowly, heated 2 hrs. on the water bath, evaporated, the residue dissolved in about 350 cc. hot H<sub>2</sub>O, the solution treated with C, filtered, and cooled slowly gave 40 g. 6-chloro-2-amino-4-methylaminopyrimidine (II), m. 162-4° (H<sub>2</sub>O). I (60 g.), 120 cc. 33% aqueous MeNH<sub>2</sub>, and 300 cc. 2:1 MeOH-H<sub>2</sub>O stirred 4 hrs. at 60-80°, filtered hot, and cooled gave 36 g. crude II. I (50 g.) in 200 cc. EtOH refluxed 3 hrs. with 45 g. H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>OH and worked up in the usual manner gave 47 g. 4-(HOCH<sub>2</sub>CH<sub>2</sub>NH) analog (III) of II, m. 149-51° (H<sub>2</sub>O). I (40 g.) refluxed 2.5 hrs. with 6.2 g. Na in 300 cc. iso-PrOH, concd, in vacuo to 80°, acidified with dilute AcOH, and cooled gave 45 g. 4-chloro-2-amino-6-isopropoxypyrimidine (IV), needles, m. 85-6° (aqueous MeOH). II (70 g.) heated 8 hrs. at 150-60° in an autoclave with 11.2 g. Ha in 700 cc. isoPrOH, filtered hot, concd, in vacuo, and diluted with a little xylene gave 71 g. 4-MeNH analog (V) of IV, m. 105-7° (CCl<sub>4</sub>-petr. ether). II (60 g.) and 9.6 g. Na in 600 cc. MeOH gave similarly 57 g. 6-MeO analog (VI) of V, m. 135-7° (xylene). V (53 g.) in 500 cc. 10% AcOH treated dropwise with stirring at 40° with 22 g. NaNO<sub>2</sub> in about 30 cc. H<sub>2</sub>O, the mixture neutralized with solid NaHCO<sub>2</sub>, cooled, and filtered gave 41 g. 5-NO derivative (VII) of V, violet, m. 180-1° (decomposition) (xylene). VI (55 g.) in 150 cc. 15% AcOH treated dropwise with stirring at 70-80° with 28 g. NaNO<sub>2</sub> gave similarly 46 g. 5-NO derivative (VIII) of VI, violet needles, m. 210-11° (H<sub>2</sub>O). III (10 g.) heated 7 hrs. in an autoclave at 140-50° with 1.4 g. Na in 200 cc. iso-PrOH, neutralized with AcOH, filtered, evaporated in vacuo, the residue treated with aqueous NaNO<sub>2</sub> at 50-60°, and the product isolated with CHCl<sub>3</sub> yielded the violet 5-NO derivative (IX) of III, m. 150-8° (CHCl<sub>3</sub>-CCl<sub>4</sub>). VII (15 g.) in 200 cc. MeOH hydrogenated over Raney Ni yielded 13.8 g. light yellow 5-NH<sub>2</sub> derivative (X) of V, m. 96-8° (ligroine). VIII (15 g.) gave similarly 13.1 g. 5-NH<sub>2</sub> derivative of VI, needles, m. 171-3° (xylene). 2,4,5-Triamino-6-isopropoxypyrimidine (XI) (2 g.) in 80 cc. MeOH refluxed 4 hrs. with 1.8 g. EtO(HO)CHCO<sub>2</sub>Et (XII), concentrated to half-volume, and refrigerated 12 hrs. yielded 1.4 g. 2-amino-4-isopropoxy-7-oxodihydropteridine (XIII), m. above 360° (PhCH<sub>2</sub>OH). 5-Nitroso-2,4-diamino-6-isopropoxypyrimidine (6 g.) in 200 cc. MeOH hydrogenated over Raney Ni, filtered, refluxed 2 hrs. with 4.8 g. BzCO<sub>2</sub>Et, and refrigerated overnight gave 6.7 g. 6-Me derivative (XIV) of XIII, m. above 360° (PhCH<sub>2</sub>OH). XI (2 g.) in 80 cc. 50% MeOH refluxed 2.5 hrs. with 2.1 g. CO(CO<sub>2</sub>Et)<sub>2</sub> (XV) gave 1.0 g. 6CO<sub>2</sub>Et analog (XVI) of XIV, m. above 320° (decomposition). XVI (1 g.) in 150 cc. 0.6M NaHCO<sub>3</sub> heated 4 hrs. on the water bath, filtered, acidified hot with 50% AcOH, and kept overnight yielded 0.64 g. 6-CO<sub>2</sub>H analog (XVII) of XIV, m. above 360° (aqueous HCONMe<sub>2</sub>). VIII (2.5 g.) in 150 cc. MeOH hydrogenated over Raney Ni, filtered, refluxed 2 hrs. with 2.3 g. XII, concentrated, and

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overnight gave 2.1 g. 8-methyl-2-amino-4-methoxy-7-oxodihydropteridine (XVIII), light yellow needles, m. 262-6° (decomposition) (aqueous EtOH). VIII (2.5 g.) in 150 cc. MeOH hydrogenated over Raney Ni, filtered, refluxed 2 hrs. with 2 g. BzCO<sub>2</sub>Et, and concentrated gave 2.2 g. 6-Me derivative (XIX) of XVIII, m. 258-62° (decomposition) (aqueous EtOH). VIII (5 g.) in 200 cc. MeOH hydrogenated over Raney Ni, filtered, refluxed 5 hrs. with 5 g. XV, and concd, gave 6.5 g. 6-CO<sub>2</sub>Et analog (XX) of XIX, yellow, m. 254-7° (decomposition) (CHCl<sub>3</sub>-petr. ether). XX (3.4 g.) in 300 cc. N NaHCO<sub>2</sub> heated 2 hrs. on the water bath, filtered hot, acidified with AcOH, concentrated, and cooled yielded 2 g. 6-CO<sub>2</sub>H analog (XXI) of XIX, yellow, m. 252-4° (decomposition) (aqueous HCONMe<sub>2</sub>). VII (2.5 g.) in 150 cc. MeOH hydrogenated over Raney Ni, filtered, refluxed 1.5 hrs. with 1.9 g. XII, concentrated in vacuo to 15 cc., diluted with 40 cc. xylene, treated with C, concentrated to about 15 cc., and cooled overnight gave 0.35 g. light yellow 8-Me derivative (XXII) of XVI, m. 232-4° (ligroine). X (1 g.) and 0.7 g. BzCO<sub>2</sub>Et in 50 cc. MeOH refluxed 0.5 hr., poured into 150 cc. hot H<sub>2</sub>O, and cooled gave 0.9 g. 6-Me derivative (XXIII) of XXII, cream needles, m. 243-5° (aqueous EtOH). VII (5 g.) in MeOH hydrogenated over Raney Ni, filtered, treated with 5 g. XV, the mixture concentrated to half volume, diluted with 25 cc. H<sub>2</sub>O, refluxed 1 hr., and cooled yielded 4.8 g. 6-CO<sub>2</sub>Et derivative (XXIV) of XXII, m. 192-4° (ligroine). XXIV (4 g.) in 200 cc. N NaHCO<sub>3</sub> heated 2 hrs. on the water bath, cooled, acidified with 40 cc. 50% AcOH, and cooled to 0° gave 3.5 g. crude product, which extracted with CHCl<sub>3</sub> and recrystd. from aqueous HCONMe<sub>2</sub> gave the 6CO<sub>2</sub>H derivative (XXV) of XXII, light yellow needles, m. 246-7° (decomposition). IX (1.8 g.) in 150 cc. MeOH hydrogenated over Raney Ni, filtered, refluxed 1 hr. with 2.5 cc. BzCO<sub>2</sub>Et, concentrated to 20 cc., and cooled yielded 1.25 g. 8-(2-hydroxyethyl)-2-amino-4-isopropoxy-6-methyl-7-oxodihydropteridine (XXVI), m. 229-31° (aqueous EtOH). XI (2 g.) in 25 cc. (CO<sub>2</sub>Et)<sub>2</sub> heated 10-15 min. at 160-80° gave 2.3 g. 2-amino-4-isopropoxy-6, 7-dioxotetrahydropteridine (XXVII), pale yellow, m. above 360° (4:1 glycol-H<sub>2</sub>O). X (2.5 g.) in 25 cc. (CO<sub>2</sub>Et)<sub>2</sub> heated slowly to 180°, kept 15 min. at 180°, cooled, and filtered yielded 2.7 g. (crude) 8-Me derivative (XXVIII) of XXVII, m. 244-7° (decomposition) (ligroine). 5-Nitroso-2,4-diamino-6-isopropoxypyrimidine (XXIX) in 40 cc. NCCH<sub>2</sub>CO<sub>2</sub>Me heated to near boiling, cooled after 10 min., and filtered gave 1.4 g. 6-CN derivative (XXX) of XIII, yellowish, decomposed above 250° (HCONMe<sub>2</sub>). XXIX (2 g.) and 4 g. CH<sub>2</sub>(CN)<sub>2</sub> in 20 cc. EtOCH<sub>2</sub>CH<sub>2</sub>OH heated slowly to 120-30°, cooled slightly after 15 min., poured into hot H<sub>2</sub>O, kept several hrs., and filtered yielded 1.1 g. 2,7-diamino-4-isopropoxy-6-cyanopteridine (XXXI), decomposed above 220° (aqueous EtOH). The ultraviolet absorption spectra of the neutral mols. and cations of XVI, XVII, XXIV, XXV, and XXX were recorded. The R<sub>f</sub> in 2:1 BuOH-5N AcOH, ProH-1% NH<sub>3</sub>, 4% aqueous Na citrate, and 3% aqueous NH<sub>4</sub>Cl (given in this order) and the pK values in H<sub>2</sub>O at 20° at the pH indicated in parentheses were determined for the following compds.: XIII, 0.67, 0.55, 0.39, 0.45, 0.74 ± 0.16 (-1.9), 7.60 ± 0.2 (5.0); XIV, 0.68, 0.56, 0.38, 0.42, 1.14 ± 0.13 (-0.89), 7.8 ± 0.2 (5.0); XXII, 0.84, 0.82, 0.52, 0.60, 0.17 ± 0.15 (-1.9); XXIII, 0.86, 0.85, 0.52, 0.56, 0.40 ± 0.18 (-1.9); XVIII, 0.61, 0.66, 0.36, 0.40, 0.21 ± 0.08 (-1.9); XIX, 0.69, 0.72, 0.42, 0.43, 0.55 ± 0.2 (-1.9); XXVI, 0.83, 0.87, 0.63, 0.63, 0.74 ± 0.1 (-1.9); XVII, 0.42, 0.27, 0.62, 0.59, 0.35 ± 0.13 (-1.9), 3.71 ± 0.11 (2.0), 8.32 ± 0.06 (6.0); XVI, 0.75, 0.62, 0.49, 0.53, 0.35 ± 0.12 (-1.9), 7.8 ± 0.2 (3.0); XXV, 0.65, 0.50, 0.71, 0.75, -0.76 ± 0.12 (-2.7), 3.53 ± 0.08 (1.0); XXIV, 0.83, 0.85, 0.62, 0.64, -0.46 ± 0.08 (-2.7); XXI, 0.32, 0.28, 0.55, 0.63, -0.4 ± 0.1 (-2.7), 3.86 ± 0.13 (1.0); XX, 0.69, 0.74, 0.53, 0.54, -0.60 ± 0.1 (-2.7); XXX, 0.72, 0.56, 0.28, 0.38, -0.17 ± 0.08 (-1.9), 5.95 ± 0.13 (4.0);

XXXI, 0.69, 0.71, 0.26, 0.29,  $41.0 \pm 0.13$  (2.0); XXVII, 0.50, 0.32, 0.40, 0.45,  $0.82 \pm 0.07$  (-1.9),  $8.46 \pm 0.14$  (4.0),  $12.2 \pm 0.2$  (10.0); XXVIII, 0.73, 0.62, 0.52, 0.60,  $0.53 \pm 0.11$  (-1.9),  $8.53 \pm 0.09$  (6.0); 1,3,6-trimethyl-7-hydroxy-2,4-dioxotetrahydropteridine, 0.70, 0.50, 0.50, 0.60, -. The various dihydropteridine derivs. showed at 254 and 365 m $\mu$  blue fluorescence, while XXVII and XXVIII fluoresced gray except in 4% aqueous Na citrate where the fluorescence was also blue.

L5 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1954:77653 CAPLUS

DN 48:77653

OREF 48:13693e-g

TI The catalytic reduction of 2-amino-4,6-dichloropyrimidine in the presence of palladium

AU Kitani, Kazuo; Sodeoka, Hiroshi

CS Mitsui Chem. Ind. Co., Omuta

SO Nippon Kagaku Kaishi (1921-47) (1953), Pure Chem. Sect. 74, 624-6  
CODEN: NIKWAB; ISSN: 0369-4208

DT Journal

LA Unavailable

AB H with 3.0 g. 2-amino-4,6-dichloropyrimidine (I) in the presence of 6.3 g. Pd-CaCO<sub>3</sub> (containing 12 mg. Pd/g.) and 3.0 g. KOH in 180 cc. MeOH at room temperature gave in less than 1 hr. 1.2 g. 2-amino-pyrimidine (II), m. 123-5° (127-8° after recrystn. from C<sub>6</sub>H<sub>6</sub>). In water instead of MeOH, the reaction was slower, but pure II was obtained in a higher yield (1.45 g.), m. 127-8°. In the presence of 1.25 g. Pd-CaCO<sub>3</sub> in MeOH-KOH, the products were II, 2-amino-4-methoxy-6-chloropyrimidine (III), m. 170-1° (from aqueous alc.), and 2-amino-4-methoxypyrimidine (IV), m. 120-1° (from H<sub>2</sub>O). This was due to the concurrent substitution of Cl by MeO. In fact, I and MeOH-KOH gave 87.5% III in 6 hrs. at room temperature; similarly, in the 4-EtO analog, m. 89-90°, was obtained in EtOH-KOH. III was dechlorinated to IV with H and PdCaCO<sub>3</sub>. In the absence of KOH, other conditions being the same as in the 1st experiment, I was reduced to 2-aminohexahydropyrimidine, which was characterized as the picrate C<sub>4</sub>H<sub>11</sub>N<sub>3</sub>.C<sub>6</sub>H<sub>3</sub>N<sub>3</sub>O<sub>7</sub>, m. 179-80°, and carbonate, (C<sub>4</sub>H<sub>11</sub>N<sub>3</sub>)<sub>2</sub>.H<sub>2</sub>CO<sub>3</sub>, m. 237° (decomposition).

L5 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1946:17714 CAPLUS

DN 40:17714

OREF 40:3413e-i,3414a-e

TI p-Aminobenzenesulfonamide derivatives of pyrimidine as antibacterial agents

AU Rose, F. L.; Tuey, G. A. P.

CS Imperial Chem. Industries Ltd., Blackley, Manchester, 9, UK

SO Journal of the Chemical Society (1946) 81-5

CODEN: JCSOA9; ISSN: 0368-1769

DT Journal

LA Unavailable

OS CASREACT 40:17714

AB 2-Amino-4,6-dimethoxypyrimidine (I), b760 252°, m. 92-3°, results in 24-g. yield by heating 32.8 g. of 4,6-dichloro-2-aminopyrimidine (II) with 9.6 g. Na in 160 cc. MeOH and 160 cc. xylene at 140-50° for 3 hrs., distilling the MeOH, adding 100 cc. C6H6 and 200 cc. H2O, filtering, and distilling the C6H6; picrate, yellow, m. 208°. The following homologs were prepared from II and the appropriate alc.: di-EtO (III), b760 265-6°, m. 101° (21.2 g. from 24.6 g. II) (picrate, yellow, m. 162°); di-PrO, b42 184°, m. 72° (16 g. from 16.5 g. II) (picrate, yellow, m. 188°); di(iso-PrO), b30 160°, m. 90° (13.8 g. from 16.5 g. II) (picrate, yellow, m. 108°); di-BuO, b17 192°, m. 58° (27.9 g. from 24.6 g. II) (picrate, yellow, 182-3°); bis(2-ethoxyethoxy), b12 228-30° (24 g. from 16.5 g. II) (picrate, yellow, m. 121°). II (82 g.) and 23 g. Na in 300 cc. MeOH, stirred at room temperature for 24 hrs., give 47 g. of 4-chloro-2-amino-6-methoxypyrimidine (IV), m. 164-6°; 6-EtO homolog (V), m. 87° (6 g. from 16.4 g. (II)). IV (15 g.) with 4.4 g. Na in EtOH and 50 cc. xylene, heated 8 hrs. at 170°, give only 7.7 g. of III; similarly V yielded I. EtONa (2.5 g. Na) in 50 cc. xylene and 17.5 g IV, refluxed 2 hrs., the mixture diluted with C6H6, and extracted with 200 cc. 5 N HCl, give 9.7 g. of 2-amino-4-methoxy-6-ethoxypyrimidine, b736 226-8°, m. 98° (picrate, yellow, m. 185-6°). 4,6-Dichloro-2-amino-5-methylpyrimidine (10.9 g.), heated with MeONa in xylene for 4 hrs. at 160-70°, gives 5 g. of the 4,6-di-MeO derivative, m. 112-14°; the 5-Et homolog m. 92-4°. I (15.5 g.), 23.4 g. p-AcNHC6H4SO2Cl, and 30 cc. C5H5N, heated 1 hr. at 60-70° and 16 hrs. at 40°, give the Ac derivative, m. 235°, of 2-(p-aminophenylsulfonamido)-4,6-dimethoxypyrimidine (VI), m. 171.5° (hydrolysis by boiling with N NaOH for 6 hrs.) (method A); in method B, VI was prepared (in smaller yield) by reacting I with p-O2NC6H4SO2Cl in C5H5N (48 hrs. at 20°) and reducing the crude product with Fe in EtOCH2CH2OH and concentrated HCl. The following homologs were similarly prepared: 4,6-di-EtO (VII), m. 140° (method B; the intermediate NO2 compound m. 156°); di-PrO, m. 128-9° (method B); di(iso-PrO), m. 159-60°; di-BuO (isolated as the Na salt, with 1.5 mols. H2O, m. 275°) (method B; intermediate Na salt (with 1 mol. H2O) of NO2 compound, yellow, m. 140°); 4-methoxy-6-ethoxy, m. 127-8°; 5-Me derivative of VI, m. 227-8°; 5-Et derivative (VIII) of VI, m. 234-6°. 4-Amino-5-phenylpyrimidine and p-O2NC6H4SO2Cl, condensed in C5H5N by heating 2 hrs. on the water bath and the NO2 product reduced with Fe in dilute HCl, give 4-(p-aminophenylsulfonamido)-5-phenylpyrimidine (IX), m. 253-5°, solubility in H2O at 37°, 3.5 mg./100 cc.; the p-anisyl analog m. 269°, and the p-chlorophenyl analog m. 267°. 2-(p-Aminophenylsulfonamido)-4-phenyl-6-methoxypyrimidine (X), m. 236°. 6-(p-Aminophenylsulfonamido)-2-phenyl-4-methylpyrimidine (XI), m. 210-11°. 2-(p-Aminophenylsulfonamido)-4-methoxy-6-methylpyrimidine (XII), m. 167-70°. p-Aminophenylsulfonyleguanidine (4.3 g.), 3.4 g. of 1-meth-oxy-pentane-2,4-dione, 6 cc. AmOH, and 2 cc.

AcOH heated 16 hrs. at 150°, give 1.1 g. of 2-(p-aminophenylsulfonamido-6-methyl-4-(methoxymethyl)pyrimidine (XIII), m. 167-70°. m-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl (11.1 g.), 8.5 g. I, and 50 cc. C<sub>5</sub>H<sub>5</sub>N, heated 1 hr. at 90°, give 1.2 g. of 2-(m-aminophenylsulfonamido)-4,6-dimethoxypyrimidine (XIV), m. 134°. I (7.8 g.), 9.3 g. p-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>COCl, and 20 cc. C<sub>5</sub>H<sub>5</sub>N, mixed at 50-60° and kept at 40° for 16 hrs. and the crude NO<sub>2</sub> compound (11.8 g.) reduced with Fe in EtOH-concentrated HCl, give 4.4 g. of 2-(p-aminobenzamido)-4,6-dimethoxypyrimidine (XV), m. 191°. VI exhibited a higher degree of persistence in the blood stream of mice than any other sulfanilamide drug examined; it was also more active in vitro against exptl. streptococcal infections; the Ac derivative was almost as effective in vivo as the free amine (rapid deacetylation in the animal body). Compds. of the type VII and VIII are less effective than VI against infections in mice, although all retain a high degree of persistence in the blood of the exptl. animal but at a lower average level than that given by VI. XIV but not XV behaved similarly to VI, indicating that the sulfonamide group may be essential but that the primary NH<sub>2</sub> group need not be in the p-position. Neither XII nor XIII was superior to sulfanilamide in antibacterial activity. Of IX-XI, only I was more effective than sulfanilamide and it was also more persistent in the blood stream of mice than the latter drug.

L5 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1913:6896 CAPLUS

DN 7:6896

OREF 7:1015d-i,1016a

TI Derivatives of 5-Benzylpyrimidine

AU Kast, Hermann

CS Univ. Berlin

SO Berichte der Deutschen Chemischen Gesellschaft (1913), 45, 3124-35  
CODEN: BDCGAS; ISSN: 0365-9496

DT Journal

LA Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. Gerngross, Ber., 38, 3394. 2,4,6-Trichloro-5-benzylpyrimidine (a), from benzylbarbituric acid heated with POCl<sub>3</sub> 0.5 hr. at 120° in sealed tubes, needles, m. 6.5°, can be distilled only in a high vacuum, easily decompose by alks. Yield, 80-3%. It could not be reduced to benzylpyrimidine by various reagents (Zn dust in b. H<sub>2</sub>O or in fuming HCl in alc.). With fuming HI containing PH<sub>4</sub>I, it gives 4-hydroxy-2 (or 6)-iodo-5-benzylpyrimidine (b), needles, m. 208°, soluble in alks. and excess of acids; the I cannot be replaced by NH<sub>2</sub> or OH by the action of NH<sub>3</sub> or NaOMe. 4-Methoxy-1,6-di-chloro-5-benzylpyrimidine (c), from (a) and NaOMe in MeOH at room temperature prisms, m. 74°, soluble in concentrate HCl, converted by heating with alc. NH<sub>3</sub> 2 hrs. at 100° into 2-amino-4-methoxy-6-chloro-5-benzylpyrimidine (d), platelets, m. 162°, and by fuming HI into (b) above. 2,4-Dimethoxy-6-chloro-5-benzylpyrimidine, from (a) and 2 mols. NaOMe or from (c) and 1 mol. NaOMe, triclinic rhombohedrons, m. 48°, reduced by Zn dust and fuming HCl in alc. to a yellow oil which, when evaporated to dryness with concentrate HCl, gives 2,4-dihydroxy-5-benzylpyrimidine, prisms, m. 285-6°, also obtained by heating benzyl-barbituric acid with fuming HI and red P 20-5 min. at 150-60°. 2,4,6-Trimethoxy-5-benzylpyrimidine, from (a) and a slight excess of NaOMe at 100°, m. 99.5°. With alc. NH<sub>3</sub> at room temperature, (a) gives 2-amino-4,6-dichloro-5-benzylpyrimidine (e), m. 204-5°, and the 4-amino-2,6-dichloro derivative, (f), m. 164°, silky needles from alc., crystals with 0.5 mol. solvent from C<sub>6</sub>H<sub>6</sub>. Benzylmalonylguanidine, from PhCH(CO<sub>2</sub>Et)<sub>2</sub>, HN: C(NH<sub>2</sub>)<sub>2</sub>.HSCN and Na in b. alc., seps. with 1 H<sub>2</sub>O; heated with POCl<sub>3</sub> at 120-5°, it gives (e) in 62-3% yield. (e) is reduced by Zn dust in b. aqueous alc. to 2-amino-5-benzylpyrimidine, scales, m. 133.5° (yield, 25%). Chloroaurate, yellow needles. Chloroplatinate, orange-red needles. With 1 mol. NaOMe in MeOH at 100°, (e) gives (d). (f) with fuming HI yields 4-amino-2(or 6)-iodo-5-benzylpyrimidine, columns, m. 201° (decompose); hydrochloride, rhombohedrons. NH<sub>2</sub> cannot be substituted for the I in the base by treatment with alc. NH<sub>3</sub> even at 200-10°, but with Zn dust in b. aqueous alc. is obtained the zinc iodide double salt, flat needles, m. about 240°, easily soluble in dilute acids, of 4-amino-5-benzylpyrimidine, platelets, m. 156°. Yield, 65-70%. With alc. NH<sub>3</sub> at 150-60°, (a) gives 2,4-diamino-6-chloro-5-benzylpyrimidine (g), needles, m. 163°, also obtained from (e) and alc. NH<sub>3</sub> at 160° (yield, 80%), reduced by Zn dust in aqueous alc. HCl at 60-70° to 2,4-diandno-5-benzylpyrimidine, felted needles, m. 145-6°, soluble in hot H<sub>2</sub>O with alkaline reaction (yield, 8-10%). It is also obtained by treating (g) with fuming HI and PH<sub>4</sub>I and b. with Zn dust in aqueous alc. HCl the resulting 2,4-diamino-6-iodo-5-benzylpyrimidine, needles, m. 191-2° (turning brown), whose hydroiodide, faintly yellow needles, m. 246-50°, slowly decompose in the air; dis-solves in H<sub>2</sub>O with acid reaction to litmus.

10/528,959

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SINCE FILE	TOTAL
ENTRY	SESSION
99.17	271.48

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
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